14/03/2007,10568495c.trn

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SESSION RESUMED IN FILE 'REGISTRY' AT 13:31:17 ON 13 MAR 2007
FILE 'REGISTRY' ENTERED AT 13:31:17 ON 13 MAR 2007
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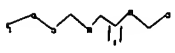
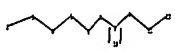
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.90	187.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.78

=>
Uploading C:\Program Files\Stnexp\Queries\10568495c.str





chain nodes :
1 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-3 3-4 4-5 5-6 6-7 7-8 8-9 8-10 9-11 11-12
exact/norm bonds :
1-3 5-6 6-7 8-9 8-10 9-11
exact bonds :
3-4 4-5 7-8 11-12

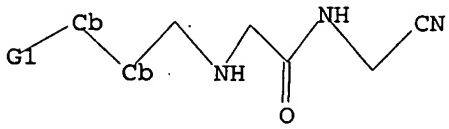
G1:Cb,Ak,O,S,N

14/03/2007,10568495c.trn

Match level :
1:CLASS 3:Atom 4:Atom 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS
Generic attributes :
3:
Saturation : Unsaturated
4:
Saturation : Unsaturated

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 Cb,Ak,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 13:32:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 562 TO ITERATE

100.0% PROCESSED 562 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9818 TO 12662
PROJECTED ANSWERS: 3 TO 163

L8 3 SEA SSS SAM L7

=> s l7 full
FULL SEARCH INITIATED 13:32:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12073 TO ITERATE

100.0% PROCESSED 12073 ITERATIONS 124 ANSWERS
SEARCH TIME: 00.00.01

L9 124 SEA SSS FUL L7

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 173.45 359.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION

14/03/2007,10568495c.trn

CA SUBSCRIBER PRICE 0.00 -0.78

FILE 'HCAPLUS' ENTERED AT 13:32:18 ON 13 MAR 2007
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FILE COVERS 1907 - 13 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 11 Mar 2007 (20070311/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l9
L10 14 L9

=> d ed abs ibib hitstr 1-14

L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 01 Feb 2007
AB The invention relates to the treatment of parasitic disease with inhibitors of the papain family cysteine proteases The parasitic diseases include toxoplasmosis, malaria, African trypanosomiasis, Chagas disease, leishmaniasis and schistosomiasis The invention also relate to the pharmaceutical compns. comprising a papain family cysteine protease inhibitor and another agent in the treatment for parasitic disease.
ACCESSION NUMBER: 2007:113649 HCAPLUS
DOCUMENT NUMBER: 146:177158
TITLE: Papain family cysteine protease inhibitors for the treatment of parasitic diseases
INVENTOR(S): Black, Cameron; Mellon, Christophe; Nicoll-Griffith, Deborah Anne; Oballa, Renata
PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.
SOURCE: PCT Int. Appl., 42pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007012180	A1	20070201	WO 2006-CA1216	20060724

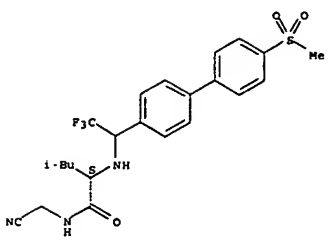
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-702455P P 20050726

IT 603139-99-7P 603141-70-4P 603141-71-5P
847361-57-3P 922138-48-5P 922138-49-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(papain family cysteine protease inhibitors for treatment of parasitic diseases and combination with other agents)
RN 603139-99-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

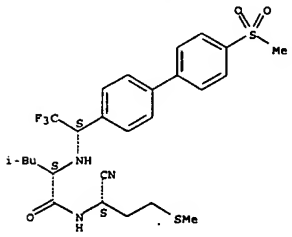
Absolute stereochemistry.

L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-70-4 HCAPLUS
CN Pentanamide, N-[(1S)-1-cyano-3-(methylthio)propyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)-(9CI) (CA INDEX NAME)

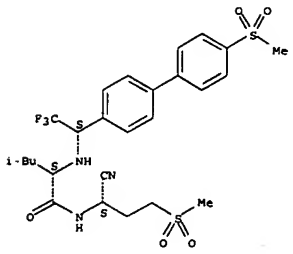
Absolute stereochemistry.



RN 603141-71-5 HCAPLUS
CN Pentanamide, N-[(1S)-1-cyano-3-(methylsulfonyl)propyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

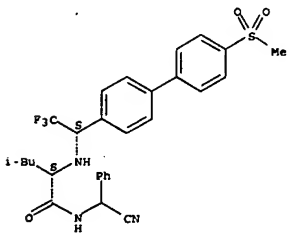
Absolute stereochemistry.

L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 847361-57-3 HCAPLUS
CN Pentanamide, N-(cyanophenylmethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

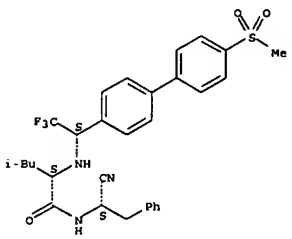
Absolute stereochemistry.



RN 922138-48-5 HCAPLUS
CN Pentanamide, N-[(1S)-1-cyano-2-phenylethyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)-(CA INDEX NAME)

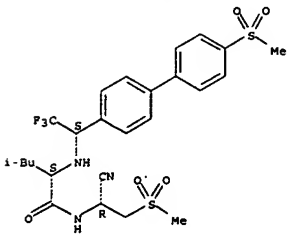
Absolute stereochemistry.

L10 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 922138-49-6 HCAPLUS
CN Pentanamide, N-[(1R)-1-cyano-2-(methylsulfonyl)ethyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 28 Jul 2006
AB The invention relates to the treatment of obesity, the treatment of obesity-related disorders, prevention of weight gain, prevention of weight regain or for weight maintenance, by the use of a cathepsin K inhibitor as active ingredient, alone or in conjunction with other anti-obesity agents. The invention also relates to pharmaceutical compns. comprising cathepsin K inhibitors as active ingredients, pharmaceutically acceptable carriers or excipients, and optionally one or more anti-obesity agents.
ACCESSION NUMBER: 2006:735916 HCAPLUS
DOCUMENT NUMBER: 145:159867
TITLE: Cathepsin K inhibitors for the treatment of obesity and obesity-related disorders
INVENTOR(S): Percival, Michael David
PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076796	A1	20060727	WO 2006-CA54	20060117

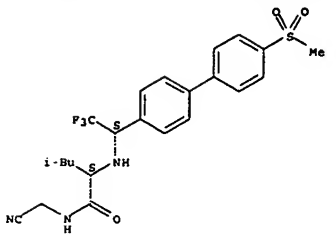
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-644926P P 20050119

OTHER SOURCE(S): MARPAT 145:159867
IT 603139-12-4 603139-13-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cathepsin K inhibitors for treatment of obesity and obesity-related disorders)
RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

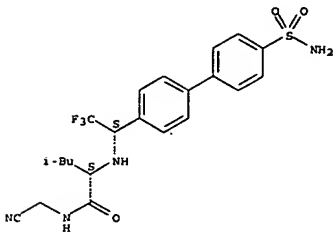
Absolute stereochemistry. Rotation (+).

L10 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-13-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 27 Jul 2006
AB This invention relates to a genus of compds., such as N1-(1-cyanocyclopropyl)-4-fluoro-N2-[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfinyl)-1,1'-biphenyl-4-yl]ethyl]-L-leucinamide or N-[1-[[(cyanomethyl]amino]carbonyl]cyclohexyl]-4-(4-propylpiperazin-1-yl)benzamide, which are inhibitors of cathepsin K. These compds. are useful for treating or preventing atherosclerosis and atherosclerotic cardiovascular disease.
ACCESSION NUMBER: 2006:733104 HCAPLUS
DOCUMENT NUMBER: 145:159834
TITLE: Cathepsin K inhibitors and atherosclerosis
INVENTOR(S): Percival, Michael David
PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076797	A1	20060727	WO 2006-CA55	20060117

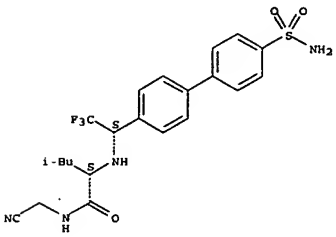
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-644938P P 20050119

OTHER SOURCE(S): MARPAT 145:159834
IT 603139-13-5 603141-37-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cathepsin K inhibitors and treatment of atherosclerosis and atherosclerotic cardiovascular diseases and combination with other agents)
RN 603139-13-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

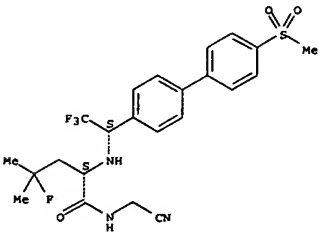
Absolute stereochemistry.

L10 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



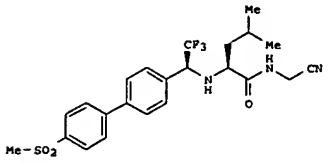
RN 603141-37-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 07 May 2006
GI



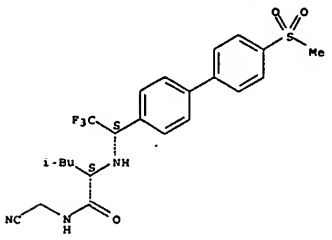
I

AB A practical, chromatog.-free synthesis of potent cathepsin K inhibitor I is described. The addition of 4-bromophenyllithium to an α-trifluoromethylimine derived from com. available (S)-leucinol was accomplished in a highly diastereoselective manner (97.6% de, 91% yield). Subsequent Suzuki cross-coupling afforded the biaryl derivative Oxidation of the alc. and sulfide functionalities led to the formation of carboxylic acid. Crystallization of the biaryl intermediate and the acid as its dicyclohexylamine salt gave excellent impurity rejection. The final amide coupling with com. available aminoacetonitrile hydrochloride afforded I in excellent purity (99.6A% by HPLC, 100% de, <3 ppm Pd, W, Cr).

ACCESSION NUMBER: 2006:413175 HCAPLUS
DOCUMENT NUMBER: 145:124273
TITLE: Diastereoselective Aryllithium Addition to an α-Trifluoromethyl Imine. Practical Synthesis of a Potent Cathepsin K Inhibitor
AUTHOR(S): Roy, Amelie; Gosselin, Francis; O'Shea, Paul D.; Chen, Cheng-Y.
CORPORATE SOURCE: Department of Process Research, Merck Frosst Centre for Therapeutic Research, Kirkland, QC, H9H 3L1, Can.
SOURCE: Journal of Organic Chemistry (2006), 71(11), 4320-4323
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:124273
IT 603139-12-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of a potent cathepsin K inhibitor by diastereoselective aryllithium addition to an α-trifluoromethyl imine)
RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX

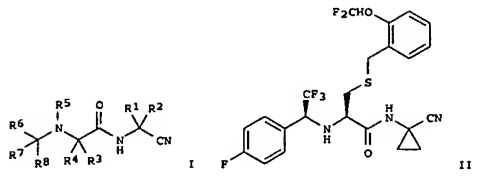
L10 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 31 Mar 2006
GI



I II

AB The present invention is directed to a novel process for preparing cyanomethyl peptide analogs I [R1 = H, alkyl; R2 = H, alkyl, haloalkyl, carboxyalkyl, alkoxycarbonylalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocyclylalkyl, CN, etc.; or R1 and R2 may form cycloalkyl or heterocycloalkyl ring; R3 = H, alkyl; R4 = alkyl, haloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, etc.; or R3 and R4 may form cycloalkyl ring; R5 = H, alkyl; R6 = (un)substituted cycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl; R7 = haloalkyl, R8 = H, alkyl, haloalkyl] or pharmaceutically acceptable salts thereof, useful as cysteine protease inhibitors (no data). Thus, N-alkylation of S-(2-difluoromethoxybenzyl)-L-cysteine (preparation given) with 2,2,2-trifluoro-1-(4-fluorophenyl)ethyl triflate (preparation given), followed by S-oxidation and amidation with 1-aminocyclopropanecarbonitrile (preparation given) gave cyanocyclopropyl peptide analog II after column chromatog.

ACCESSION NUMBER: 2006:298556 HCAPLUS
DOCUMENT NUMBER: 144:350977
TITLE: Methods for the preparation of cyanomethyl peptide analogs useful as cysteine protease inhibitors
INVENTOR(S): Li, Jiayao
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

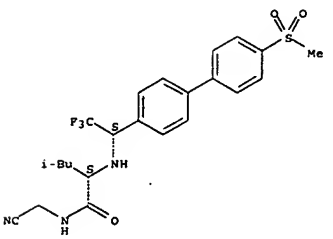
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034004	A2	20060330	WO 2005-US33051	20050916
WO 2006034004	A3	20061123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,

L10 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2004-610806P P 20040917

OTHER SOURCE(S): MARPAT 144:350977
IT 603139-12-4P 603139-13-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods for the preparation of cyanomethyl peptide analogs useful as cysteine protease inhibitors)
RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

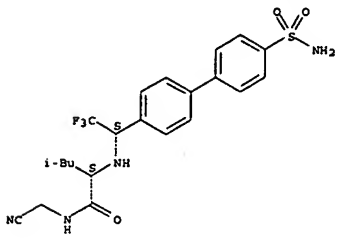
Absolute stereochemistry. Rotation (+).



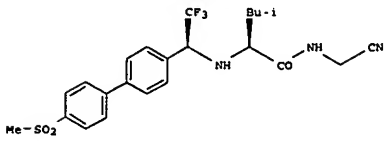
RN 603139-13-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 02 Mar 2006
GI



I

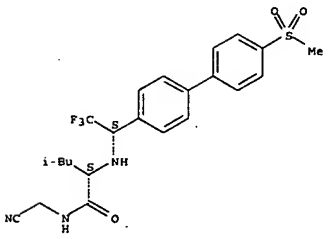
AB Based on our previous study with trifluoroethylamine as a P2-P3 amide isostere of cathepsin K inhibitor, further optimization led to identification of L-873724 (I) as a potent and selective non-basic cathepsin K inhibitor. This compound showed excellent pharmacokinetics and efficacy in an ovariectomized (OVX) rhesus monkey model. The vols. of distribution close to unity were consistent with this compound not being lysosomotropic, which is a characteristic of basic cathepsin K inhibitors.

ACCESSION NUMBER: 2006:188910 HCAPLUS
DOCUMENT NUMBER: 144:403771
TITLE: Identification of a potent and selective non-basic cathepsin K inhibitor
AUTHOR(S): Li, Chun Sing; Deschenes, Denis; Desmarais, Sylvie; Falgueyret, Jean-Pierre; Gauthier, Jacques Yves; Kimmel, Donald. B.; Leger, Serge; Masse, Frederic; McGrath, Mary E.; McKay, Daniel J.; Percival, M. David; Riendeau, Denis; Rodan, Sevgi B.; Therien, Michel; Truong, Vouy-Linh; Wesolowski, Gregg; Zamboni, Robert; Black, W. Cameron
CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, H9R 4P8, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 1985-1989
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 603139-12-4P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trifluoromethyl leucine derivs. as cathepsin K inhibitors)
RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

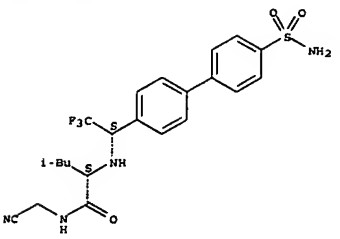
Absolute stereochemistry. Rotation (+).

L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 603139-13-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trifluoromethyl leucine derivs. as cathepsin K inhibitors)
RN 603139-13-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

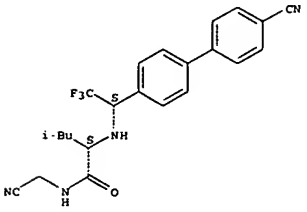
Absolute stereochemistry.



IT 603139-65-7P 603140-08-5P 603140-40-5P
603140-50-7P 603140-54-1P 603141-12-4P

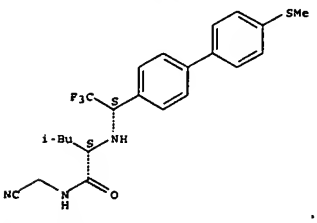
L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trifluoromethyl leucine derivs. as cathepsin K inhibitors)
RN 603139-65-7 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(4'-cyano[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 603140-08-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

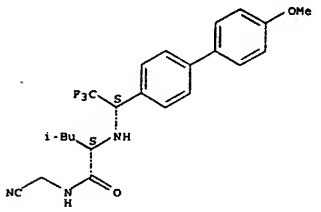
Absolute stereochemistry.



RN 603140-40-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

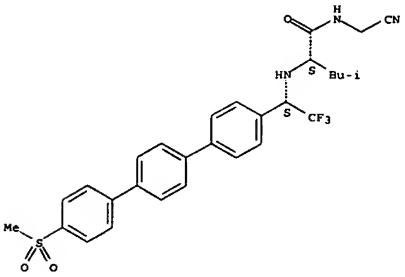
Absolute stereochemistry.

L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-50-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4''-(methylsulfonyl)[1,1':4',1''-terphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

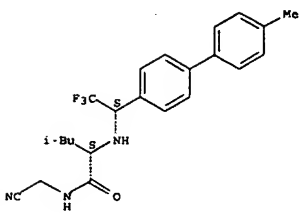
Absolute stereochemistry.



RN 603140-54-1 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(4'-methyl[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

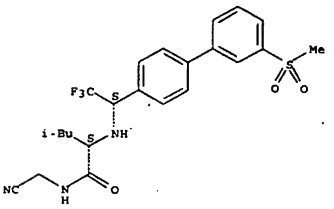
Absolute stereochemistry.

L10 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



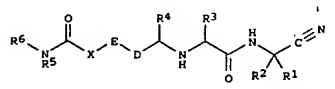
RN 603141-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

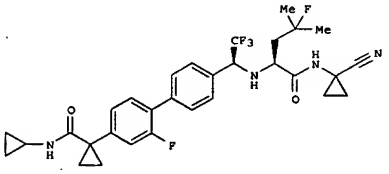


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 24 Jun 2005
GI



I



II

AB The invention relates to a novel class of compds. I [R1, R2 are independently H, (un)substituted alkyl, alkenyl, aryl, heteroaryl or heterocyclyl; or R1R2C form a cycloalkyl or heterocyclyl ring; R3 is (un)substituted alkyl or alkenyl; R4 is alkyl or haloalkyl; R5 is H or alkyl; D, E are independently (un)substituted aryl or heteroaryl; X is cycloalkyl or CRaRb, where Ra, Rb are H or alkyl optionally substituted by OR5] which are cysteine protease inhibitors (e.g., inhibitors of cathepsins K, L, S and B) and are useful for treating osteoporosis and other diseases in which inhibition of bone resorption is indicated. Thus, 4-fluoro-L-leucine 1-cyanocyclopropylamide II was prepared via coupling of intermediates 1-(4-bromo-3-fluorophenyl)-N-cyclopropylcyclopropanecarboxamide with N1-(1-cyanocyclopropyl)-4-fluoro-N2-[(1S)-2,2,2-trifluoro-1-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]ethyl]-L-leucinamide in the presence of [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium (II).

ACCESSION NUMBER: 2005:547595 HCAPLUS
DOCUMENT NUMBER: 143:60251
TITLE: Preparation of peptide nitriles as cathepsin cysteine protease inhibitors
INVENTOR(S): Boyd, Michael; Lau, Cheuk; Mellon, Christophe; Roy, Bruno; Scheigetz, John; Truong, Vouy Linh
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

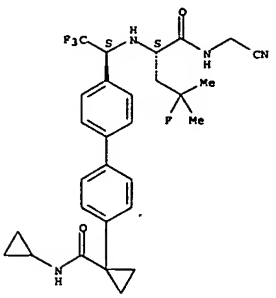
L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056529	A1	20050623	WO 2004-CA2101	20041209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004296905	A1	20050623	AU 2004-296905	20041209
CA 2548600	A1	20050623	CA 2004-2548600	20041209
EP 1694647	A1	20060830	EP 2004-802278	20041209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1906164	A	20070131	CN 2004-80036642	20041209
PRIORITY APPLN. INFO.:			US 2003-529254P	P 20031212
			WO 2004-CA2101	W 20041209

OTHER SOURCE(S): MARPAT 143:60251
IT 854268-13-6P 854268-19-2P 854268-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide nitriles as cathepsin cysteine protease inhibitors)
RN 854268-13-6 HCAPLUS
CN Cyclopropanecarboxamide, 1-[4'-[(1S)-1-[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-fluoro-3-methylbutyl]amino]-2,2,2-trifluoroethyl][1,1'-biphenyl]-4-yl]-N-cyclopropyl- (9CI) (CA INDEX NAME)

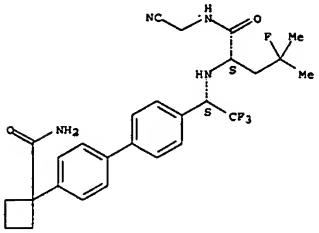
Absolute stereochemistry.

L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 854268-19-2 HCAPLUS
CN Cyclobutanecarboxamide, 1-[4'-[(1S)-1-[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-fluoro-3-methylbutyl]amino]-2,2,2-trifluoroethyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

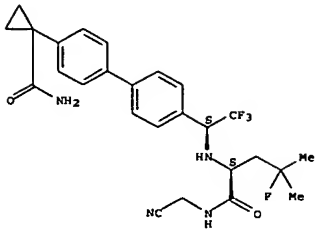
Absolute stereochemistry.



RN 854268-47-6 HCAPLUS
CN Cyclopropanecarboxamide, 1-[4'-[(1S)-1-[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-fluoro-3-methylbutyl]amino]-2,2,2-trifluoroethyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

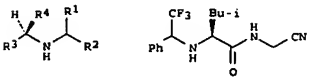
Absolute stereochemistry.

L10 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 11 Mar 2005
GI



I II

AB The invention relates to compds. I which are cysteine protease inhibitors, including but not limited to inhibitors of cathepsins K, L, S and B, and are useful for treating diseases in which inhibition of bone resorption is indicated, e.g., osteoporosis, osteoarthritis and rheumatoid arthritis. Thus, a mixture of L-leucine Me ester hydrochloride, 2,2,2-trifluoroacetophenone, diisopropylethylamine and TiCl4 in CH2Cl2 was stirred overnight, addnl. TiCl4 added, and the mixture stirred an addnl. 3 h. A solution of NaCNBH3 in MeOH was added and the mixture stirred 2 h to afford Me N-(2,2,2-trifluoro-1-phenylethyl)-L-leucinate. Saponification of the ester and reaction with aminoacetonitrile hydrochloride in DMF in the presence of PyBOP and Et3N yielded L-leucinamide derivative II.

ACCESSION NUMBER: 2005:219775 HCAPLUS
DOCUMENT NUMBER: 142:280425
TITLE: Preparation of amino acid derivatives as cathepsin inhibitors
INVENTOR(S): Bayly, Christopher; Black, Cameron; McKay, Daniel J.
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

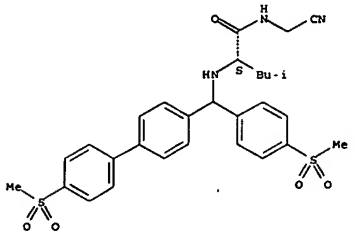
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021487	A1	20050310	WO 2004-CA1577	20040823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004268707	A1	20050310	AU 2004-268707	20040823
CA 2535366	A1	20050310	CA 2004-2535366	20040823

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

EP 1660436	A1	20060531	EP 2004-761741	20040823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1842515	A	20061004	CN 2004-80024520	20040823
JP 2007503401	T	20070222	JP 2006-524194	20040823
US 2006287402	A1	20061221	US 2006-569351	20060222
PRIORITY APPLN. INFO.:			US 2003-498017P	P 20030827
			WO 2004-CA1577	W 20040823

OTHER SOURCE(S): MARPAT 142:280425
IT 603139-08-8P 603139-12-4P 603141-70-4P
603142-15-0P 847361-50-6P 847361-57-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as cathepsin inhibitors)
RN 603139-08-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][4-(methylsulfonyl)phenyl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

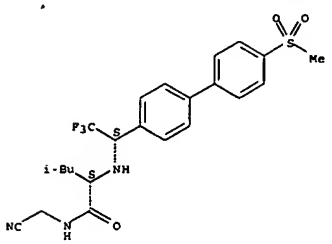
Absolute stereochemistry.



RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

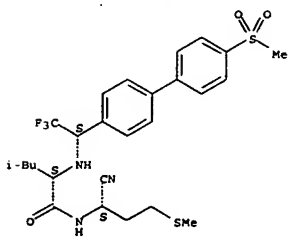
Absolute stereochemistry. Rotation (+).

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-70-4 HCAPLUS
CN Pentanamide,
N-[(1S)-1-cyano-3-(methylthio)propyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

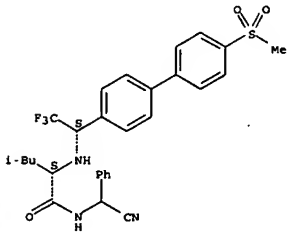
Absolute stereochemistry.



RN 603142-15-0 HCAPLUS
CN Pentanamide,
N-(1-cyano-1-methylethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

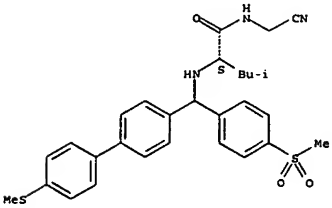
Absolute stereochemistry.

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 603141-16-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as cathepsin inhibitors)
RN 603141-16-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[[4-(methylsulfonyl)phenyl][4'-(methylthio)[1,1'-biphenyl]-4-yl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

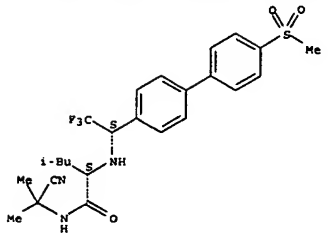
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

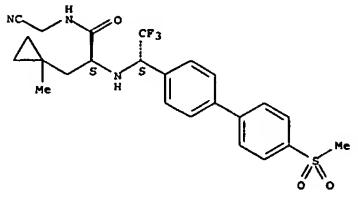
FORMAT

L10 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 847361-50-6 HCAPLUS
CN Cyclopropanepropanamide, N-(cyanomethyl)-1-methyl-α-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847361-57-3 HCAPLUS
CN Pentanamide,
N-(cyanophenylmethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 04 Mar 2005

AB The invention relates to amino acid derivs. HO2C-Gn-E-D-CHR4NHCHR3CONHCR1R2CN [R1, R2, R3 are independently H, (un)substituted alkyl or alkenyl; R4 is H or haloalkyl; D, E are independently (un)substituted aryl or heteroaryl; G is (un)substituted alkyl, alkoxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, O, imino, S, SO, SO2 or CO; n is 1-3], which are cysteine protease inhibitors and are useful for treating diseases in which inhibition of bone resorption is indicated, e.g., osteoporosis. Thus, (S)-p-MeSO2C6H4C6H4-p-CH(CF3)-L-Leu-NHCH2CN was prepared by a multistep sequence in which the reactants are L-leucinol, trifluoroacetaldehyde Me hemiacetal, 1,4-dibromobenzene, 4-(methylthio)phenylboronic acid, and aminoacetonitrile hydrochloride.

ACCESSION NUMBER: 2005:182615 HCAPLUS
DOCUMENT NUMBER: 142:280422
TITLE: Preparation of amino acid derivatives as cathepsin cysteine protease inhibitors
INVENTOR(S): Gauthier, Jacques Yves; Truong, Vouy Linh
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

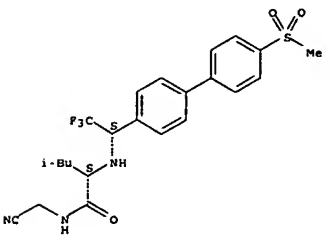
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019161	A1	20050303	WO 2004-CA1524	20040819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004266740	A1	20050303	AU 2004-266740	20040819
CA 2535359	A1	20050303	CA 2004-2535359	20040819
EP 1673336	A1	20060628	EP 2004-761688	20040819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1839114	A	20060927	CN 2004-80023760	20040819
JP 2007502781	T	20070215	JP 2006-523498	20040819
US 2006287373	A1	20061221	US 2006-568495	20060215
PRIORITY APPLN. INFO.:			US 2003-496825P	P 20030821
			WO 2004-CA1524	W 20040819

OTHER SOURCE(S): MARPAT 142:280422

IT 603139-12-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as cathepsin cysteine protease inhibitors)

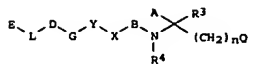
L10 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

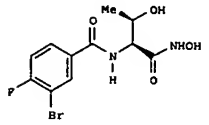


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 30 Jul 2004
GI



I



II

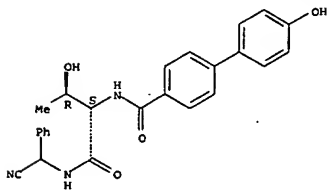
AB Title compds. I [E = absent or H, (un)substituted-alkyl, -alkenyl, -aryl, etc.; L = absent or CONH, NHCO, (un)substituted alkyl, etc.; D = absent or (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; G = absent or alkene, alkyne, CO, etc.; Y = (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; X = CO, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, methylene, or when B is absent X and A together form heterocyclic ring; B = absent or substituted aminoalkylcarbonyl; R3 = H or (un)substituted alkyl, or R3 and A together form a cycloalkyl or heterocyclic ring; R4 = H or (un)substituted alkyl, or R4 and A together form a heterocyclic ring; n = 0-2; A = H, acetylene, alkyl, etc.; Q = absent or substituted amide, SH, SO2NH2, CO2H, etc.] are disclosed: As well as stereoisomers, pharmaceutically acceptable salts, esters, and prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, e.g., II was prepared via amidation of 3-bromo-4-fluorobenzoic acid with L-threonine Me ester hydrochloride followed by substitution with hydroxylamine hydrochloride. This invention pertains generally to treating infections caused by gram-neg. bacteria. More specifically, the invention described pertains to treating gram-neg. infections by inhibiting activity of UDP-3-O-(R-3-hydroxydecanoyl)-N-acetylglucosamine deacetylase (LpxC). Many of I displayed an IC50 value of less than 10 μM with respect to inhibition of LpxC.

ACCESSION NUMBER: 2004:610055 HCAPLUS
DOCUMENT NUMBER: 141:157473
TITLE: Preparation of amino acid derivatives as antibacterial agents
INVENTOR(S): Anderson, Neils H.; Bowman, Jason; Erwin, Alice; Harwood, Eric; Kline, Toni; Mdluli, Khisimuzi; Ng, Simon; Pfister, Keith B.; Shawar, Ribhi; Wagman, Allan; Yabannavar, Asha
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062601	A2	20040729	WO 2004-US433	20040108
WO 2004062601	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204760	A1	20040729	AU 2004-204760	20040108
CA 2512582	A1	20040729	CA 2004-2512582	20040108
US 2004229955	A1	20041118	US 2004-754928	20040108
EP 1618087	A2	20060125	EP 2004-700887	20040108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1777577	A	20060524	CN 2004-80005935	20040108
JP 2006519772	T	20060831	JP 2006-500858	20040108
IN 2005KN01343	A	20060915	IN 2005-KN1343	20050712
US 2006154988	A1	20060713	US 2005-187708	20050722
PRIORITY APPLN. INFO.:			US 2003-438523P	P 20030108
			US 2003-466974P	P 20030430
			US 2003-520211P	P 20031113
			US 2004-754928	A1 20040108
			WO 2004-US433	W 20040108

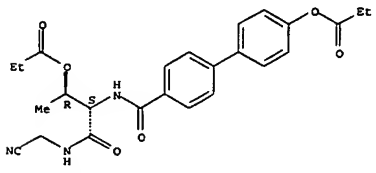
OTHER SOURCE(S): MARPAT 141:157473
IT 728867-68-3P 728867-70-7P 728867-72-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino acid derivs. as antibacterial agents)
RN 728867-68-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S,2R)-1-[[(cyanophenylmethyl)amino]carbonyl]-2-hydroxypropyl]-4'-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



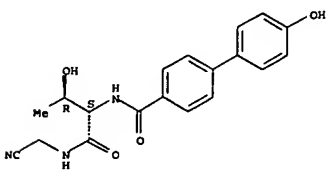
RN 728867-70-7 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S,2R)-1-[[(cyanomethyl)amino]carbonyl]-2-(1-oxopropoxy)propyl]-4'-(1-oxopropoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



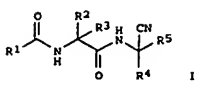
RN 728867-72-9 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S,2R)-1-[[(cyanomethyl)amino]carbonyl]-2-hydroxypropyl]-4'-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

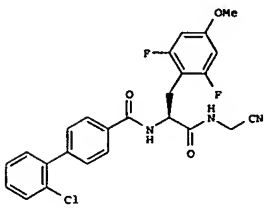


L10 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 27 Jun 2004
GI



I



II

AB The dipeptide derivs. [I [R1 = substituted Ph, aryl, diaryl, heterodiaryl, furanyl, arylfuranyl, pyrazolyl, etc.; R2 = H, (un)substituted cycloalkyl, indolyl, alkylindolyl, Me, Et, Pr, pentyl, etc.; R3 = H, or R2 and R3 together with the carbon atom to which they are attached formed (un)substituted cycloalkylene, cycloalkenylene or spirocycloalkylene; R4 = H; R5 = H, (un)substituted alkyl or heteroaryl, or R4 and R5 together with the carbon atom to which they are attached form cycloalkylene or heterocycloalkylene]] were prepared as cysteine protease inhibitors, in particular, cathepsins B, K, L, F, and S, for treating diseases mediated by these proteases. Thus, compound II was prepared via peptide coupling of 2'-chlorobiphenyl-4-carboxylic acid with synthesized 2(S)-amino-N-cyanomethyl-3-(2,6-difluoro-4-methoxyphenyl)-propionamide. Compds. of the invention were tested by in vitro essays for protease activity and showed cathepsins B, K, L, F, and S inhibitory activity.

ACCESSION NUMBER: 2004:515539 HCAPLUS
DOCUMENT NUMBER: 141:71829
TITLE: Cyanomethyl derivatives as cysteine protease inhibitors

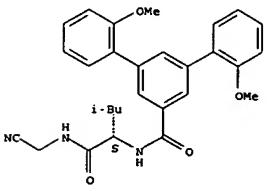
L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
INVENTOR(S): Graupe, Michael; Lau, Agnes J.; Link, John O.; Liu, Yang; Moesman, Craig J.; Patterson, John W.; Zipfel, Sheila M.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052921	A1	20040624	WO 2003-US37979	20031126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506114	A1	20040624	CA 2003-2506114	20031126
AU 2003298740	A1	20040630	AU 2003-298740	20031126
EP 1569954	A1	20050907	EP 2003-796499	20031126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006122184	A1	20060608	US 2005-536889	20051017
PRIORITY APPLN. INFO.:			US 2002-431354P	P 20021205
			WO 2003-US37979	W 20031126

OTHER SOURCE(S): MARPAT 141:71829
IT 710350-11-1P 710350-22-4P 710350-24-6P
710350-25-7P 710350-36-0P 710350-37-1P
710350-39-3P 710350-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide cyanomethyl derivs. as cysteine protease inhibitors)
RN 710350-11-1 HCAPLUS
CN [1,1':3',1''-Terphenyl]-5'-carboxamide, N-[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]-2,2''-dimethoxy- (9CI) (CA INDEX NAME)

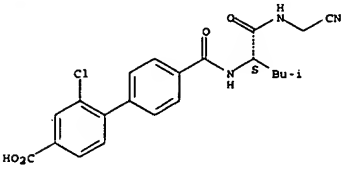
Absolute stereochemistry.

L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



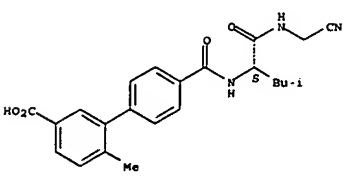
RN 710350-22-4 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-chloro-4'-[[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 710350-24-6 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-[[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]-6-methyl- (9CI) (CA INDEX NAME)

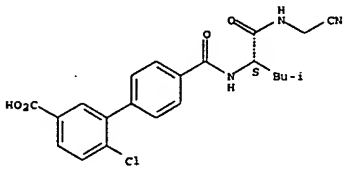
Absolute stereochemistry.



RN 710350-25-7 HCAPLUS

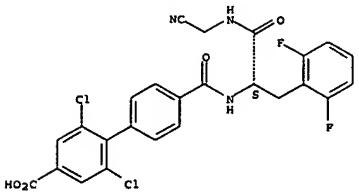
L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN [1,1'-Biphenyl]-3-carboxylic acid, 6-chloro-4'-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 710350-36-0 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2,6-dichloro-4'-[[[(1S)-2-[(cyanomethyl)amino]-1-[(2,6-difluorophenyl)methyl]-2-oxoethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

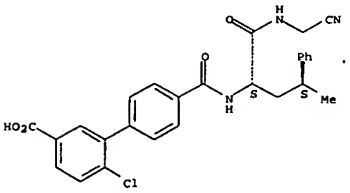
Absolute stereochemistry.



RN 710350-37-1 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 6-chloro-4'-[[[(1S)-2-[(cyanomethyl)amino]-1-[(2,6-difluoro-4-methoxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

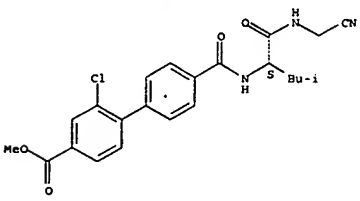
Absolute stereochemistry.

L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

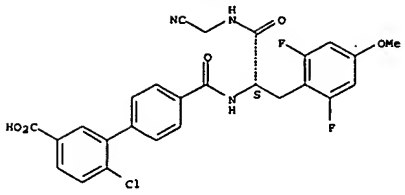


IT 710350-76-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preperation of dipeptide cyanomethyl derivs. as cysteine protease inhibitors)
RN 710350-76-8 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-chloro-4'-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

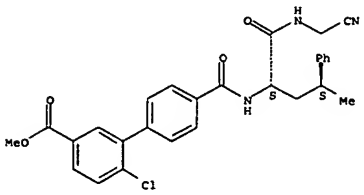


L10 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 710350-39-3 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 6-chloro-4'-[[[(1S,3S)-1-[[(cyanomethyl)amino]carbonyl]-3-phenylbutyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 710350-80-4 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 6-chloro-4'-[[[(1S,3S)-1-[[(cyanomethyl)amino]carbonyl]-3-phenylbutyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 19 Sep 2003
AB This invention relates to cysteine protease inhibitors R7(D)nCR6R7NR8CR3R4C(:O)NHCR1R2CN (R1-4 = H, (substituted)C1-6-alkyl or C2-6-alkenyl; R1 and R2 or R3 and R4 may be take together with the C atom to which they are attached to form a (substituted)C3-8-cycloalkyl or heterocyclic ring; R5 = H, (substituted)C1-6-alkyl; R6 = (substituted)aryl, heteroaryl, C1-6-haloalkyl, arylalky, heteroarylalkyl; D = (substituted)C1-3-alkyl, C2-3-alkenyl, C2-3-alkynyl, aryl, heteroaryl, C3-8-cycloalkyl, heterocyclyl; R7 = H, (substituted)C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkyloxy, etc.; R8 = H, C2-6-alkyl) including but not limited to, inhibitors of cathepsins K, L, S and B. These compds. are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis.

ACCESSION NUMBER: 2003:737516 HCAPLUS
DOCUMENT NUMBER: 139:257284
TITLE: Cathepsin cysteine protease inhibitors and their therapeutic use
INVENTOR(S): Bayly, Christopher I.; Black, Cameron; Leger, Serge; Li, Chun Sing; McKay, Dan; Mellon, Christophe; Gauthier, Jacques Yves; Lau, Cheuk; Therien, Michel; Truong, Vouy-Linh; Green, Michael J.; Hirschbein, Bernard L.; Janc, James W.; Palmer, James T.; Baskaran, Chitra
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Axys Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075836	A2	20030918	WO 2003-US6147	20030228
WO 2003075836	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2477657	A1	20030918	CA 2003-2477657	20030228
AU 2003219953	A1	20030922	AU 2003-219953	20030228
US 2003232863	A1	20031218	US 2003-377377	20030228
EP 1482924	A2	20041208	EP 2003-716238	20030228
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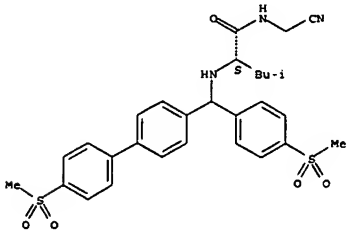
BR 2003008208	A	20050111	BR 2003-8208	20030228
CN 1638757	A	20050713	CN 2003-805181	20030228
JP 2005526753	T	20050908	JP 2003-574112	20030228
NZ 534583	A	20061130	NZ 2003-534583	20030228

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2005240023	A1	20051027	US 2004-505796		20040825
NO 2004004207	A	20041124	NO 2004-4207		20041004
PRIORITY APPLN. INFO.:			US 2002-361818P	P	20020305
			US 2002-408704P	P	20020906
			WO 2003-US6147	W	20030228

OTHER SOURCE(S): MARPAT 139:257284
IT 603139-08-8P 603139-09-9P 603139-12-4P
603139-13-5P 603139-22-6P 603139-23-7P
603139-24-8P 603139-28-2P 603139-29-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin cysteine protease inhibitors and their therapeutic use)
RN 603139-08-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][4-(methylsulfonyl)phenyl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

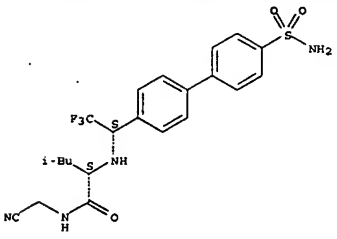
Absolute stereochemistry.



RN 603139-09-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-3-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

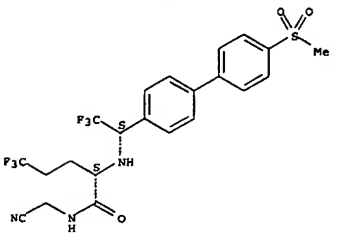
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-22-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-5,5,5-trifluoro-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

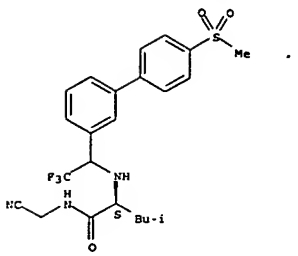
Absolute stereochemistry.



RN 603139-23-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(S)-(4-fluorophenyl)[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

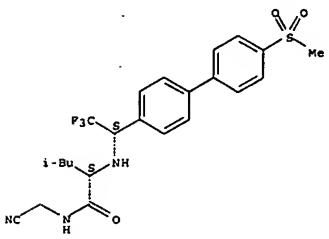
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

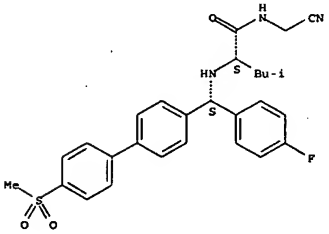
Absolute stereochemistry. Rotation (+).



RN 603139-13-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

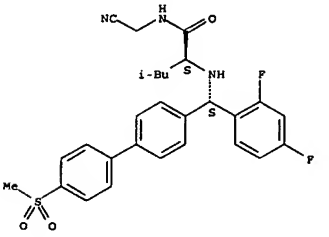
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-24-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(S)-(2,4-difluorophenyl)[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

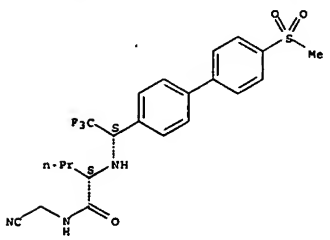
Absolute stereochemistry.



RN 603139-28-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

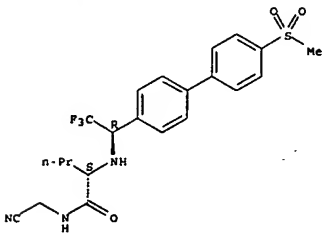
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-29-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(1R)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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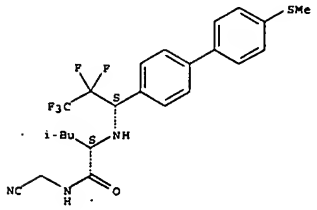
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin cysteine protease inhibitors and their therapeutic use)

RN 603139-56-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

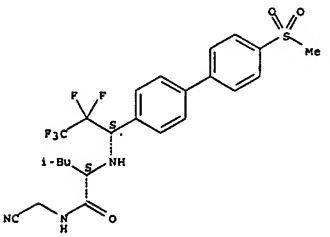
Absolute stereochemistry.



RN 603139-57-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

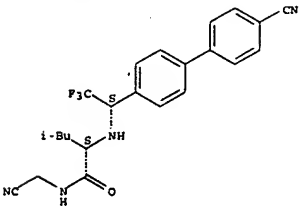
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



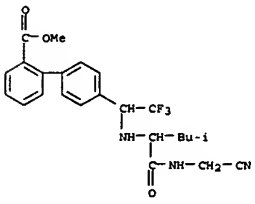
RN 603139-65-7 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(4'-cyano[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

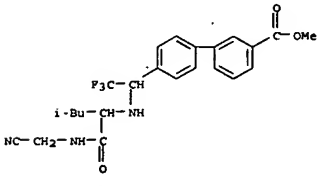


RN 603139-67-9 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[1-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl]-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



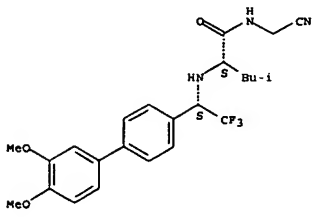
RN 603139-68-0 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-[1-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 603139-69-1 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(1S)-1-(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

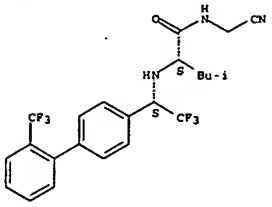
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



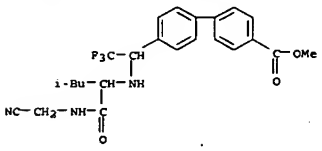
RN 603139-70-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



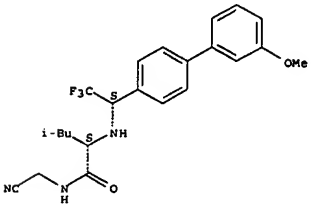
RN 603139-72-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(3'-formyl[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



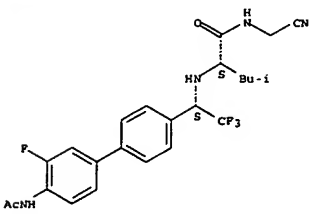
RN 603139-84-0 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

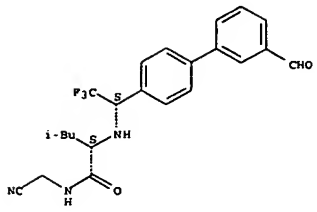


RN 603139-85-1 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(acetylamino)-3'-fluoro[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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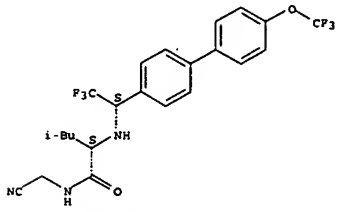
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

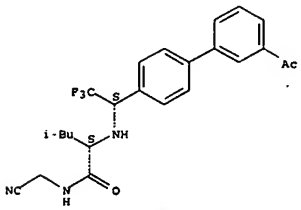


RN 603139-78-2 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[1-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl]-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

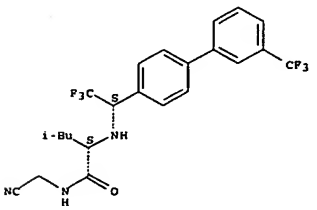
RN 603139-89-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(3'-acetyl[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 603139-90-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

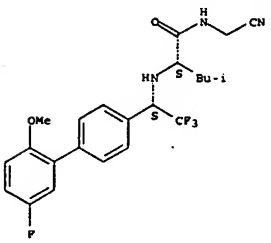
Absolute stereochemistry.



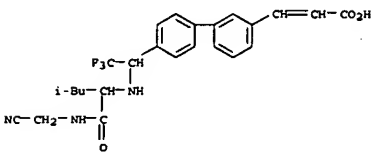
RN 603139-91-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(5'-fluoro-2'-methoxy[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



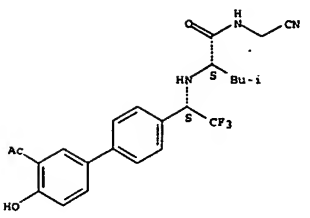
RN 603139-94-2 HCAPLUS
CN 2-Propenoic acid, 3-[4'-[1-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 603139-97-5 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(3'-acetyl-4'-hydroxy[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

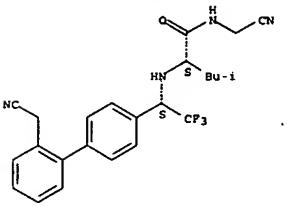
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603139-98-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(1S)-1-[2'-(cyanomethyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

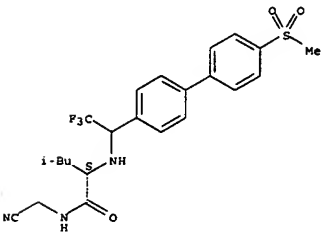
Absolute stereochemistry.



RN 603139-99-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

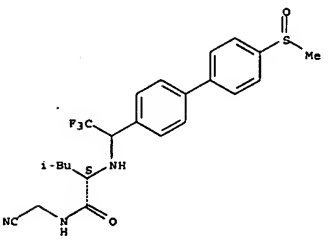
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-00-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

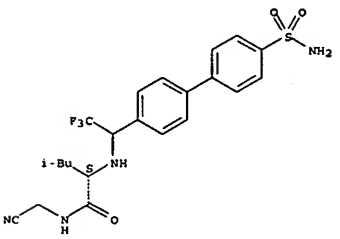
Absolute stereochemistry.



RN 603140-07-4 HCAPLUS
CN Pentanamide, 2-[[1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

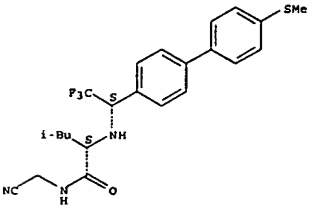
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-08-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

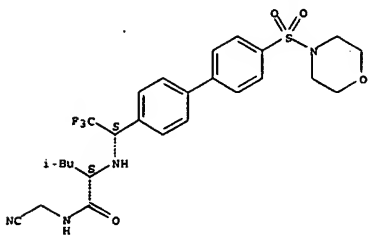
Absolute stereochemistry.



RN 603140-10-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(4-morpholinylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

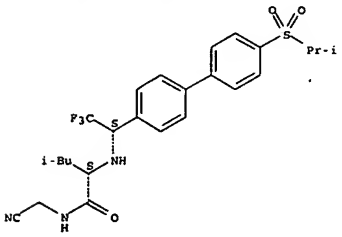
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-11-0 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[(1-methylethyl)sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

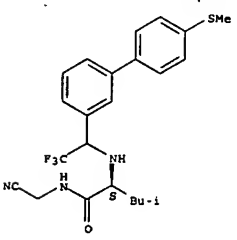
Absolute stereochemistry.



RN 603140-12-1 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-[(acetylamino)sulfonyl][1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

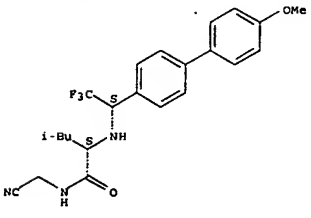
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-40-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

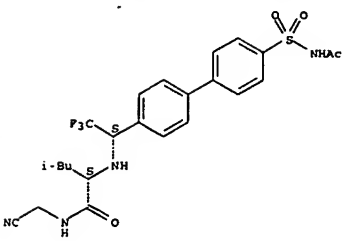
Absolute stereochemistry.



RN 603140-46-1 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(acetylamino)-2'-methyl[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

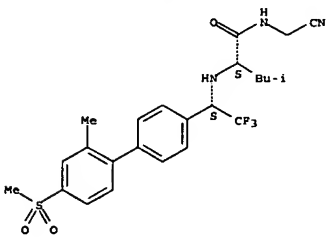
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-13-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[2'-methyl-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

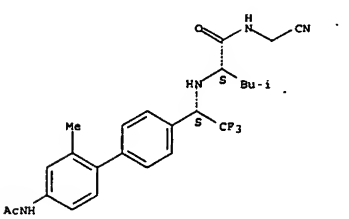
Absolute stereochemistry.



RN 603140-30-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-3-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

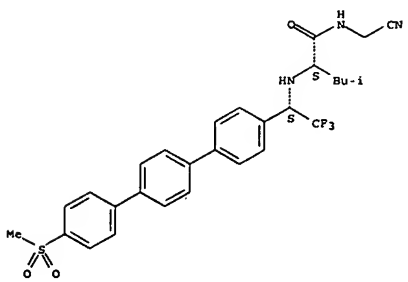
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



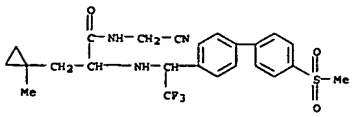
RN 603140-50-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4''-(methylsulfonyl)[1,1':4',1''-terphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

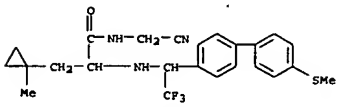


RN 603140-52-9 HCAPLUS
CN Cyclopropanepropanamide, N-(cyanomethyl)-1-methyl-α-[[2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]- (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

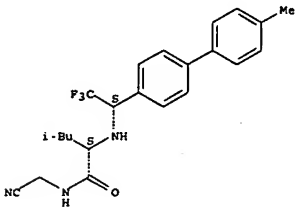


RN 603140-53-0 HCAPLUS
CN Cyclopropanepropanamide, N-(cyanomethyl)-1-methyl-α-[[2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]- (9CI) (CA INDEX NAME)



RN 603140-54-1 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-methyl[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

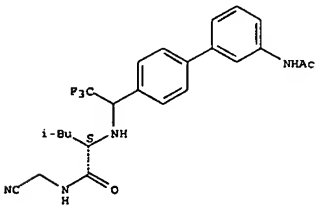
Absolute stereochemistry.



RN 603140-55-2 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(4'-acetyl[1,1'-biphenyl]-4-yl)-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

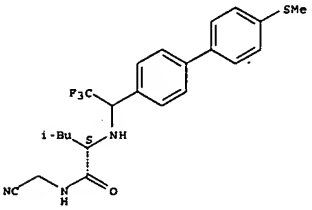
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-83-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

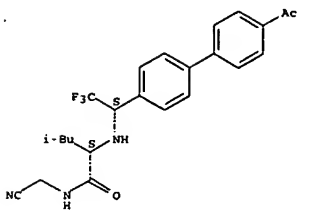
Absolute stereochemistry.



RN 603140-86-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[1-[4'-(dimethylamino)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

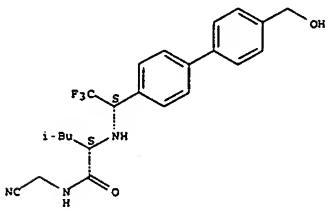
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-56-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

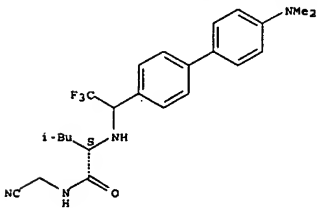
Absolute stereochemistry.



RN 603140-64-3 HCAPLUS
CN Pentanamide, 2-[[1-[3'-(acetylamino)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

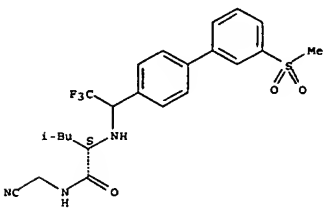
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



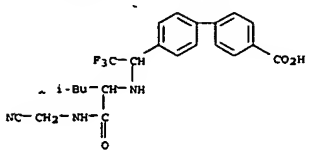
RN 603140-89-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

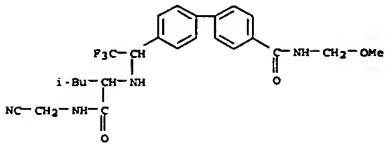


RN 603140-90-5 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[1-[[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



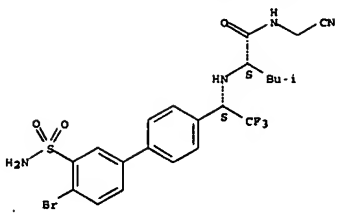
RN 603140-91-6 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
4'-[1-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]-2,2,2-trifluoroethyl]-N-(methoxymethyl)- (9CI) (CA INDEX NAME)



RN 603140-94-9 HCAPLUS
CN Pentanamide,
2-[[(1S)-1-[3'-(aminosulfonyl)-4'-bromo[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

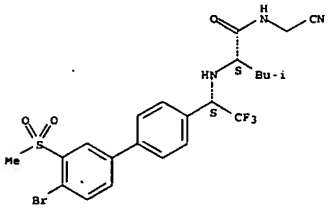
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603140-95-0 HCAPLUS
CN Pentanamide,
2-[[(1S)-1-[4'-bromo-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

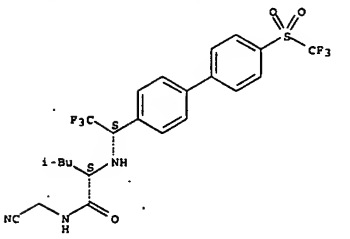
Absolute stereochemistry.



RN 603140-99-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[(trifluoromethyl)sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI)
(CA INDEX NAME)

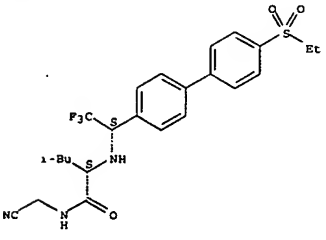
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-02-2 HCAPLUS
CN Pentanamide,
N-(cyanomethyl)-2-[[(1S)-1-[4'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

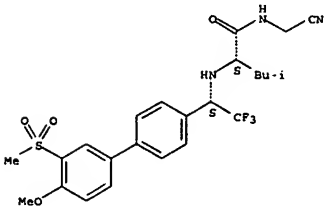
Absolute stereochemistry.



RN 603141-05-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-methoxy-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI)
(CA INDEX NAME)

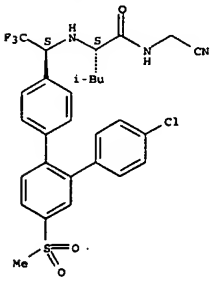
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-06-6 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4''-chloro-4'-(methylsulfonyl)[1,1':2',1''-terphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

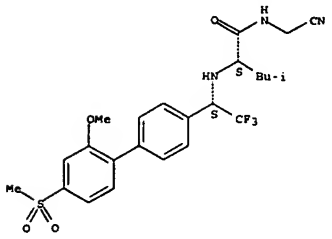
Absolute stereochemistry.



RN 603141-07-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[2'-methoxy-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI)
(CA INDEX NAME)

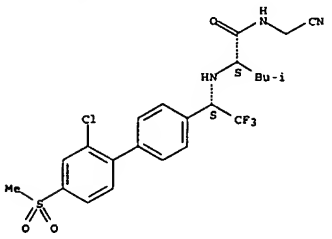
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-08-8 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[2'-chloro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2,2,2-trifluoroethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

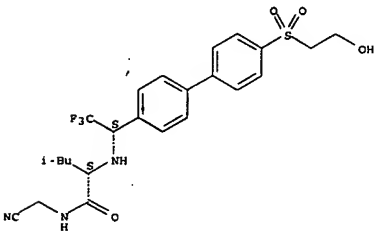
Absolute stereochemistry.



RN 603141-09-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[(2-hydroxyethyl)thio][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

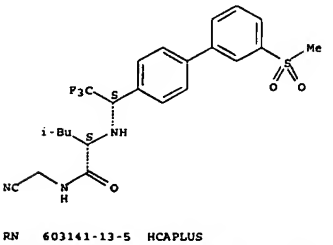
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-12-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

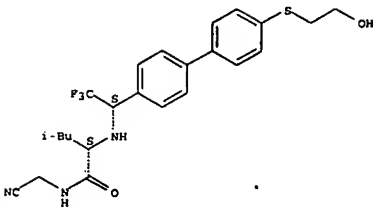
Absolute stereochemistry.



RN 603141-13-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[[2-(methoxymethylamino)-2-oxoethyl]sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

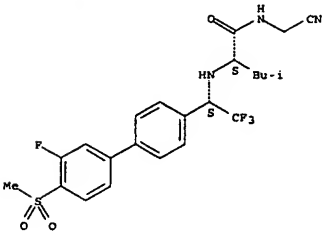
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-10-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[3'-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

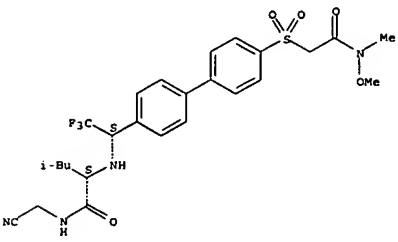
Absolute stereochemistry.



RN 603141-11-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[(2-hydroxyethyl)sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

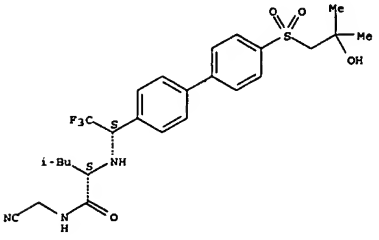
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-14-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-[(2-hydroxy-2-methylpropyl)sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

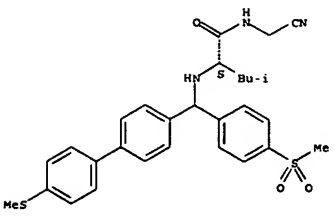
Absolute stereochemistry.



RN 603141-16-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[[4-(methylsulfonyl)phenyl][4'-(methylthio)[1,1'-biphenyl]-4-yl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

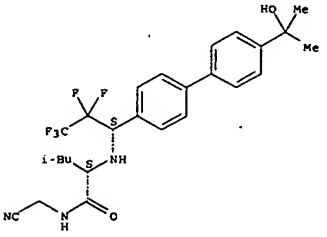
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-27-1 HCAPLUS
CN Pentanamide,
N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-(1-hydroxy-1-methylethyl)[1,1'-biphenyl]-4-yl]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

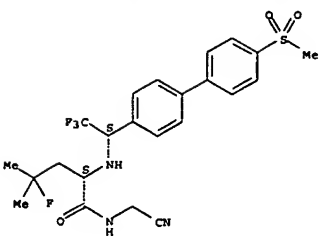
Absolute stereochemistry.



RN 603141-37-3 HCAPLUS
CN Pentanamide,
N-(cyanomethyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

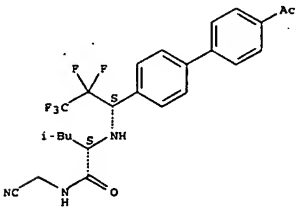
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-56-6 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-(4'-acetyl[1,1'-biphenyl]-4-yl)-2,2,3,3,3-pentafluoropropyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

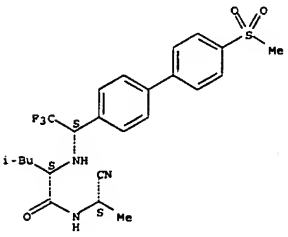
Absolute stereochemistry.



RN 603141-69-1 HCAPLUS
CN Pentanamide,
N-[(1S)-1-cyanoethyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

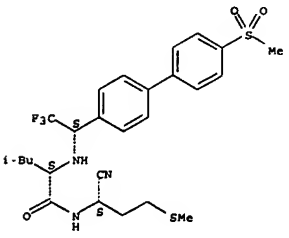
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-70-4 HCAPLUS
CN Pentanamide,
N-[(1S)-1-cyano-3-(methylthio)propyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

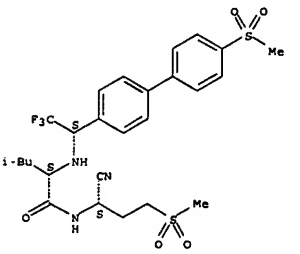
Absolute stereochemistry.



RN 603141-71-5 HCAPLUS
CN Pentanamide, N-[(1S)-1-cyano-3-(methylsulfonyl)propyl]-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

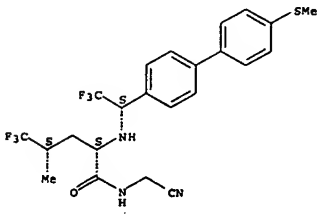
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-80-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-5,5,5-trifluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S,4S)-(9CI) (CA INDEX NAME)

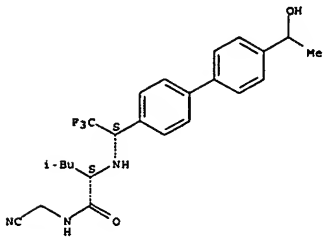
Absolute stereochemistry.



RN 603141-89-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(1-hydroxyethyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

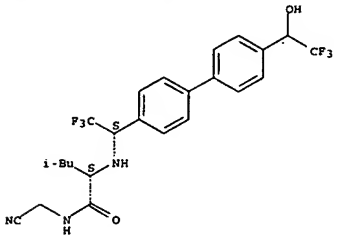
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-90-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(2,2,2-trifluoro-1-hydroxyethyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

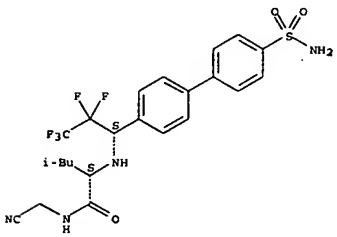
Absolute stereochemistry.



RN 603141-93-1 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-5,5,5-trifluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S,4R)- (9CI) (CA INDEX NAME)

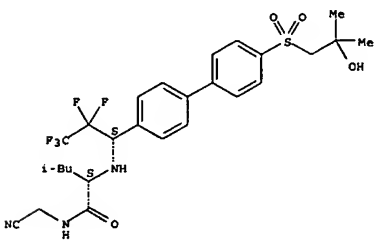
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-12-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-[(2-hydroxy-2-methylpropyl]sulfonyl][1,1'-biphenyl]-4-yl]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

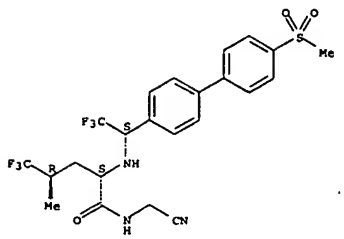
Absolute stereochemistry.



RN 603142-13-8 HCAPLUS
CN Propanamide, N-(cyanomethyl)-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

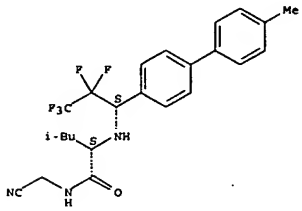
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603141-95-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-methyl[1,1'-biphenyl]-4-yl)propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

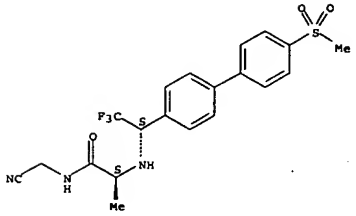
Absolute stereochemistry.



RN 603142-11-6 HCAPLUS
CN Pentanamide, 2-[[(1S)-1-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2,2,3,3,3-pentafluoropropyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

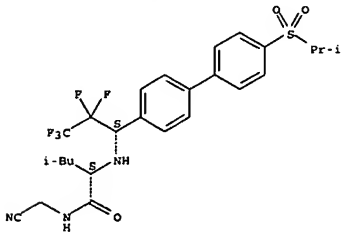
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-14-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1S)-2,2,3,3,3-pentafluoro-1-[4'-[(1-methylethyl)sulfonyl][1,1'-biphenyl]-4-yl]propyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

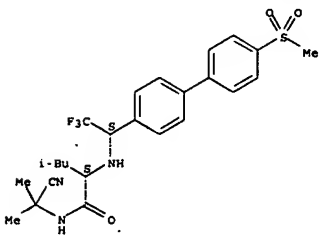
Absolute stereochemistry.



RN 603142-15-0 HCAPLUS
CN Pentanamide, N-(1-cyano-1-methylethyl)-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

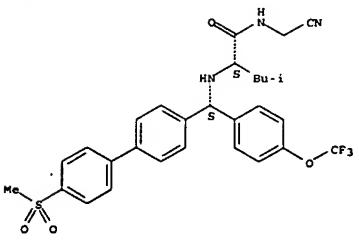
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-20-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][4-(trifluoromethoxy)phenyl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

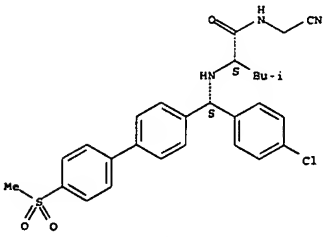
Absolute stereochemistry.



RN 603142-21-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-thienylmethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

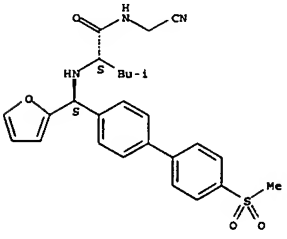
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-30-9 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(S)-2-furanyl[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

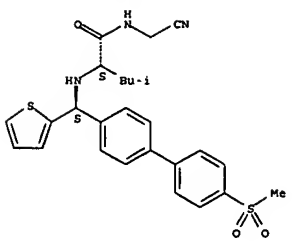
Absolute stereochemistry.



RN 603142-35-4 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-thienylmethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

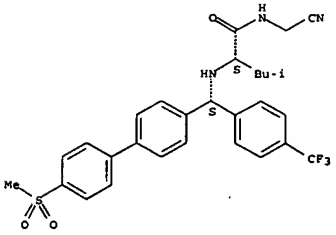
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-23-0 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][4-(trifluoromethyl)phenyl]methyl]amino]-, (2S)- (9CI) (CA
INDEX NAME)

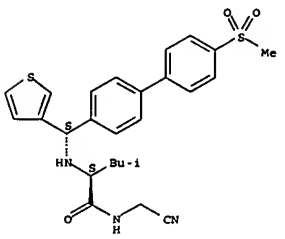
Absolute stereochemistry.



RN 603142-24-1 HCAPLUS
CN Pentanamide,
2-[[(S)-(4-chlorophenyl)[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

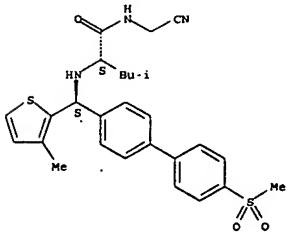
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-36-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][3-methyl-2-thienyl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

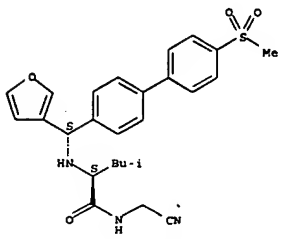
Absolute stereochemistry.



RN 603142-42-3 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(S)-3-furanyl[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

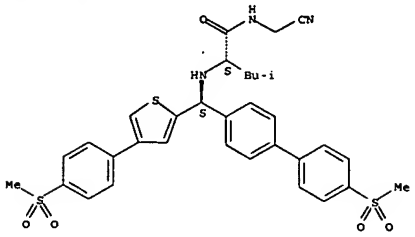
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-45-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][4-[4-(methylsulfonyl)phenyl]-2-thienyl]methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

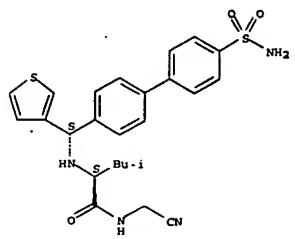
Absolute stereochemistry.



RN 603142-49-0 HCAPLUS
CN Pentanamide, 2-[[(S)-[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-thienylmethyl]amino]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

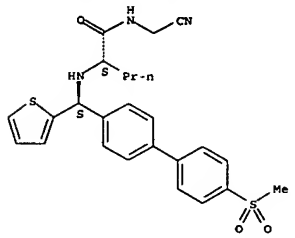
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603142-70-7 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[[(S)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-thienylmethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

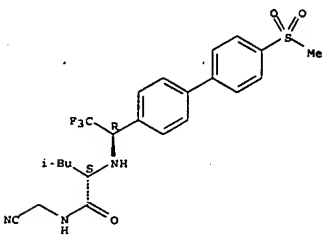
Absolute stereochemistry.



IT 603143-34-6 603143-36-8 603143-38-0
603143-63-1 603143-64-2 603143-67-5
603143-94-8 603143-96-0 603143-98-2
603144-00-9 603145-26-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cathepsin cysteine protease inhibitors and their therapeutic use)
RN 603143-34-6 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[(1R)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX

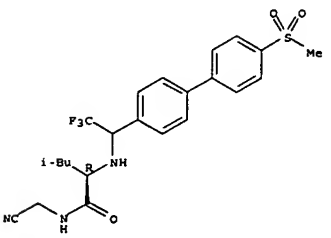
L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



RN 603143-36-8 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2R)- (9CI) (CA INDEX
NAME)

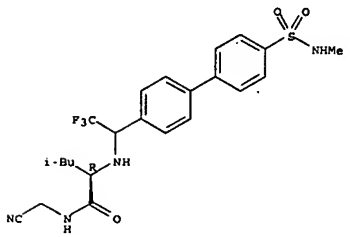
Absolute stereochemistry.



RN 603143-38-0 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4'-[(methylamino)sulfonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

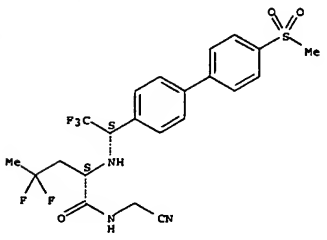
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603143-63-1 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4,4-difluoro-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX
NAME)

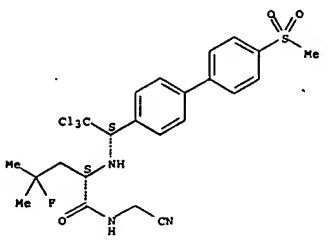
Absolute stereochemistry.



RN 603143-64-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trichloro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

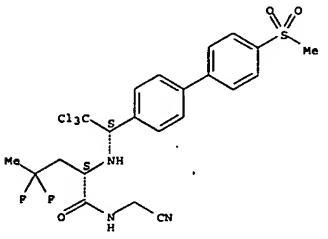
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603143-67-5 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4,4-difluoro-2-[[(1S)-2,2,2-trichloro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

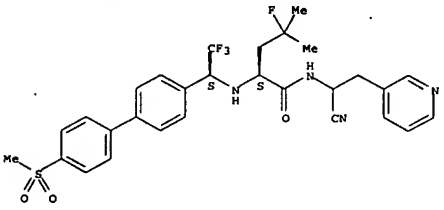
Absolute stereochemistry.



RN 603143-94-8 HCAPLUS
CN Pentanamide, N-(1-cyanobutyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

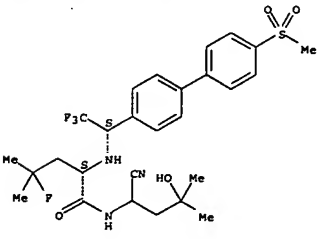
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603144-00-9 HCAPLUS
CN Pentanamide, N-(1-cyano-3-hydroxy-3-methylbutyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

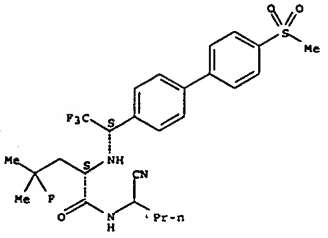
Absolute stereochemistry.



RN 603145-26-2 HCAPLUS
CN Pentanamide, N-(cyanomethyl)-4,4-difluoro-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

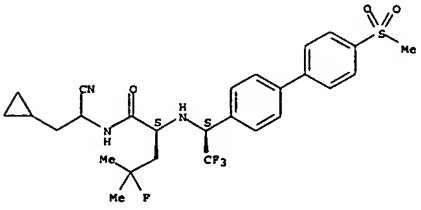
Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 603143-96-0 HCAPLUS
CN Pentanamide, N-(1-cyano-2-cyclopropylethyl)-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

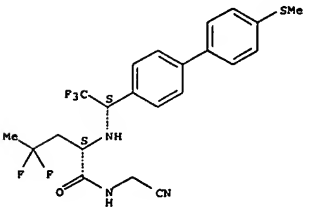
Absolute stereochemistry.



RN 603143-98-2 HCAPLUS
CN Pentanamide, N-[1-cyano-2-(3-pyridinyl)ethyl]-4-fluoro-4-methyl-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L10 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 22 Sep 2000
AB Title compds. [R1R2NCR3R4CN; R1 = R11R7NCR5R9X1, R11R8NCR6R10X2NR7CR5R9CX1; X1, X2 independently = CO, CH2SO2; R5, R6 independently = H, C1-6alkyl; R7, R8 independently = H, C1-6alkyl; R9, R10 independently = (un)substituted-C1-6alkyl; R9-R7 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R10-R8 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R5-R9 = C3-8cycloalkylene, C3-8heterocycloalkylene; R10-R6 = C3-8cycloalkylene, C3-8heterocycloalkylene; R11 = X4X5R18; X4 = CO, COCO, SO2; X5 = bond, O, NH; R18 = C1-6alkyl; R2 = H, C1-6alkyl; R3 = H, C1-6alkyl; R4 = CN, COOH, COOC1-6alkyl; R2-R4 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4-R3 = C3-8cycloalkylene, C3-8heterocycloalkylene], N-oxide, prodrug, isomers, pharmaceutically acceptable salts, and composition are prepared as therapeutically effective estrogen receptor agonist. Title compds. are claimed in treating osteoporosis in post-menopausal woman in which cathepsin K activity contributes to the pathol. and symptomatol. of the disease. Thus, the title compound (S)-C6H5CH2OCONHCH(CH2CH(CH3)2)CONHCH2CN was prepared
ACCESSION NUMBER: 2000:666701 HCAPLUS
DOCUMENT NUMBER: 133:252050
TITLE: Preparation of novel N-cyanomethyl amide compounds and compositions as protease inhibitors to treat osteoporosis
INVENTOR(S): Bryant, Clifford M.; Palmer, James T.; Rydzewski, Robert M.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055126	A2	20000921	WO 2000-US6837	20000315
WO 2000055126	A3	20010222		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2368148	A1	20000921	CA 2000-2368148	20000315
EP 1161415	A2	20011212	EP 2000-916375	20000315
EP 1161415	B1	20050713		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009043	A	20020108	BR 2000-9043	20000315
TR 200103337	T2	20020321	TR 2001-3337	20000315
TR 200103390	T2	20020521	TR 2001-3390	20000315
HU 200200347	A2	20020629	HU 2002-347	20000315
HU 200200503	A2	20020629	HU 2002-503	20000315
US 6455502	B1	20020924	US 2000-526090	20000315
TR 200201874	T2	20021021	TR 2002-1874	20000315
US 6476026	B1	20021105	US 2000-526485	20000315
JP 2002539192	T	20021119	JP 2000-605557	20000315
EE 200100487	A	20030217	EE 2001-487	20000315
AU 769736	B2	20040205	AU 2000-37486	20000315
PT 1178958	T	20040730	PT 2000-916343	20000315
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ES 2215626	T3	20041016	ES 2000-916343	20000315
AT 299493	T	20050715	AT 2000-916375	20000315
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ZA 2001007494	A	20020911	ZA 2001-7494	20010911
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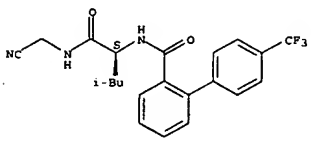
NO 2001004484	A	20011026	NO 2001-4484	20010914
BG 106013	A	20020531	BG 2001-106013	20011012
HR 2001000737	A1	20021031	HR 2001-737	20011012
US 2002086996	A1	20020704	US 2001-17851	20011214
US 6593327	B2	20030715		
US 2003096796	A1	20030522	US 2002-205600	20020724
US 2003119788	A1	20030626	US 2002-241001	20020909
US 2004147745	A1	20040729	US 2004-758893	20040115
US 2007015755	A1	20070118	US 2006-533582	20060920

PRIORITY APPLN. INFO.:
US 1999-124420P P 19990315
EP 2000-916343 A3 20000315
US 2000-526090 A1 20000315
US 2000-526485 A3 20000315
WO 2000-US6837 W 20000315
US 2002-205600 B1 20020724
US 2004-758893 B1 20040115

OTHER SOURCE(S): MARPAT 133:252050
IT 294622-17-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-cyanomethyl amides and compns. as protease inhibitors)
RN 294622-17-6 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-

L10 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
methylbutyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 27 May 1999
AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S; L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Nα-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 = 5 nM for inhibition of cathepsin B.
ACCESSION NUMBER: 1999:325961 HCAPLUS
DOCUMENT NUMBER: 130:352553
TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins
INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	A1	19990520	CA 1998-2306313	19981103
AU 9914873	A	19990531	AU 1999-14873	19981103
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

L10 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IE, FI

BR 9813197	A	20000829	BR 1998-13197		19981103
TR 200001189	T2	20000921	TR 2000-200001189		19981103
JP 2001522862	T	20011120	JP 2000-520468		19981103
HU 200004400	A2	20020429	HU 2000-4400		19981103
RU 2201420	C2	20030327	RU 2000-114821		19981103
ZA 9810073	A	19990505	ZA 1998-10073		19981104
TW 527362	B	20030411	TW 1998-87118553		19981105
NO 2000002320	A	20000704	NO 2000-2320		20000502
US 6353017	B1	20020305	US 2000-643639		20000822
US 2004029814	A1	20040212	US 2003-342872		20030115
US 2004110806	A1	20040610	US 2003-694672		20031028
US 2006235220	A1	20061019	US 2006-374995		20060315
PRIORITY APPLN. INFO.:			GB 1997-23407	A	19971105
			US 1997-108160P	P	19971205
			US 1997-985973	A	19971205
			WO 1998-EP6937	W	19981103
			US 1998-186223	B1	19981104
			US 2000-643639	A1	20000822
			US 2002-54590	B1	20020122
			US 2003-342872	A1	20030115
			US 2003-694672	B1	20031028

OTHER SOURCE(S): MARPAT 130:352553
IT 225119-32-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)
RN 225119-32-4 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-1-[[[(1S)-1-cyano-3-methylbutyl]amino]carbonyl]-3-methylbutyl]-4'-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)